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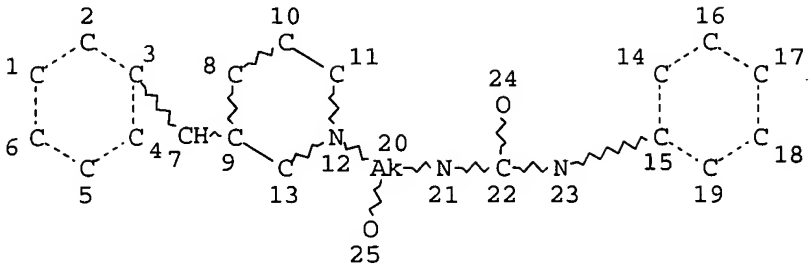
L1 HAS NO ANSWERS
L1 STR



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DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC 8 3 15
NUMBER OF NODES IS 25

STEREO ATTRIBUTES: NONE

=> s l1 ful
FULL SEARCH INITIATED 11:28:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 1627 TO ITERATE

100.0% PROCESSED 1627 ITERATIONS 49 ANSWERS
SEARCH TIME: 00.00.01

L3 49 SEA SSS FUL L1

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COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 162.62 162.83

FILE 'CAPLUS' ENTERED AT 11:28:18 ON 07 JUL 2005
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FILE COVERS 1907 - 7 Jul 2005 VOL 143 ISS 2
FILE LAST UPDATED: 6 Jul 2005 (20050706/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

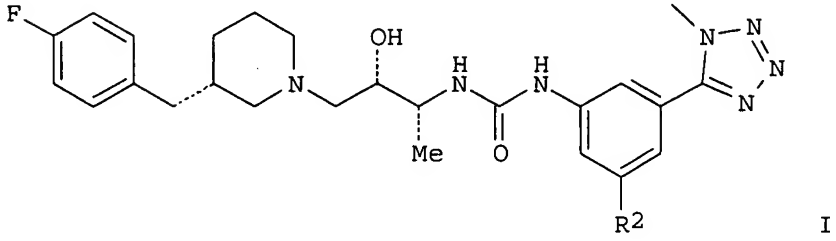
=> s l3
L4 2 L3

=> d bib abs hitstr 1-2

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2004:252477 CAPLUS
DN 140:287391
TI Preparation of piperidinylpropylureidophenyltetrazoles as modulators of chemokine receptor activity.
IN Duncia, John V.; Gardner, Daniel S.; Santella, Joseph B.
PA Bristol-Myers Squibb Company, USA
SO PCT Int. Appl., 49 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2004024682	A2	20040325	WO 2003-US28468	20030911
	WO 2004024682	A3	20040708		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
	US 2004082616	A1	20040429	US 2003-660347	20030911
	EP 1545524	A2	20050629	EP 2003-749596	20030911
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
PRAI	US 2002-410198P	P	20020912		
	WO 2003-US28468	W	20030911		

OS MARPAT 140:287391
GI



I

AB Title compds. (I; R2 = H, Me, Et), were prepared as CCR3 chemokine receptor modulators (no data). Thus, (2S,3R)-3-amino-1-[(3S)-3-(4-fluorobenzyl)-1-piperidinyl]-2-butanol (preparation given), and Ph 3-ethyl-5-(1-methyl-1H-tetrazol-5-yl)phenylcarbamate (preparation given) were stirred 6 h in MeCN to give I (R2 = Et).

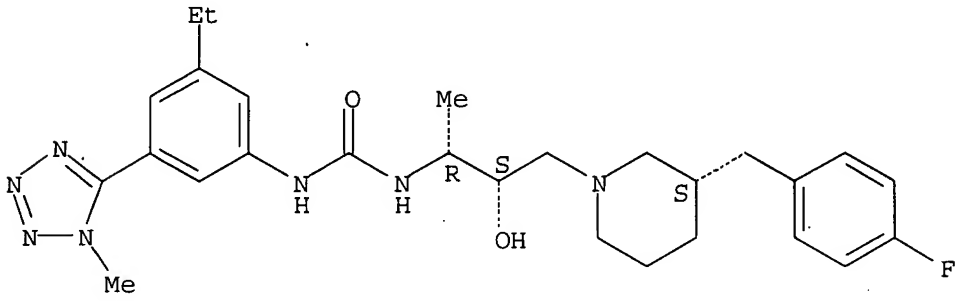
IT **675122-43-7P 675122-44-8P 675122-45-9P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES

(Uses)
(claimed compound; preparation of piperidinylpropylureidophenyltetrazoles as modulators of chemokine receptor activity)

RN 675122-43-7 CAPLUS

CN Urea, N-[3-ethyl-5-(1-methyl-1H-tetrazol-5-yl)phenyl]-N'-[(1R,2S)-3-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-hydroxy-1-methylpropyl]- (9CI) (CA INDEX NAME)

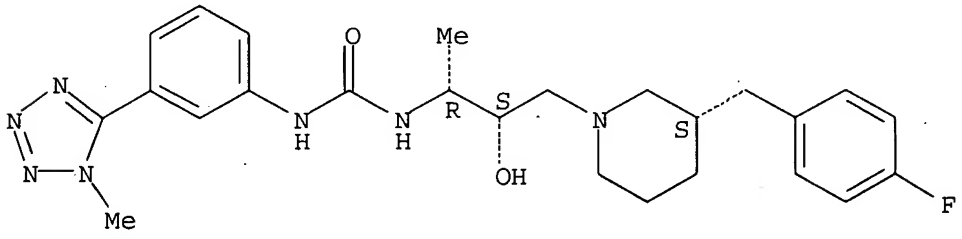
Absolute stereochemistry.



RN 675122-44-8 CAPLUS

CN Urea, N-[(1R,2S)-3-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-hydroxy-1-methylpropyl]-N'-[3-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

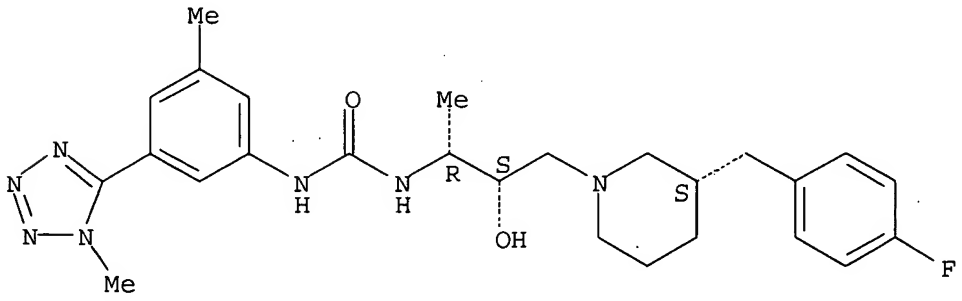
Absolute stereochemistry.



RN 675122-45-9 CAPLUS

CN Urea, N-[(1R,2S)-3-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-hydroxy-1-methylpropyl]-N'-[3-methyl-5-(1-methyl-1H-tetrazol-5-yl)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2001:935573 CAPLUS

DN 136:53686

TI Synthesis of piperidine-amido-ureas as modulators of chemokine receptor

activity

IN Duncia, John V.; Santella, Joseph B.; Wacker, Dean A.; Yao, Wenqing; Zheng, Changsheng

PA Dupont Pharmaceuticals Company, USA

SO PCT Int. Appl., 326 pp.
CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2001098268	A2	20011227	WO 2001-US19705	20010620
	WO 2001098268	A3	20020808		
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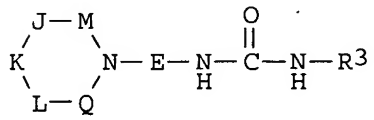
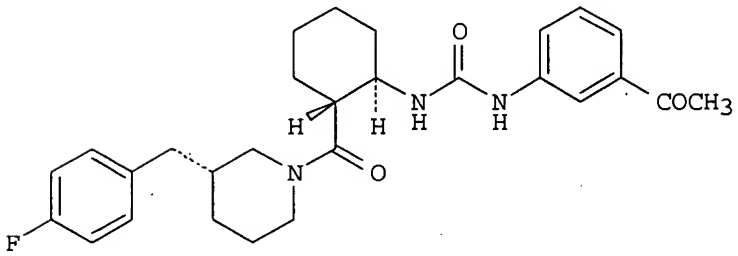
	RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
	CA 2413418	AA	20011227	CA 2001-2413418	20010620
	US 2002156102	A1	20021024	US 2001-885550	20010620
	US 6638950	B2	20031028		
	EP 1296949	A2	20030402	EP 2001-946580	20010620
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
	JP 2004516237	T2	20040603	JP 2002-504224	20010620
	US 2004082790	A1	20040429	US 2003-635946	20030807
PRAI	US 2000-213066P	P	20000621		
	US 2001-885550	A3	20010620		
	WO 2001-US19705	W	20010620		

OS MARPAT 136:53686

GI



I



II

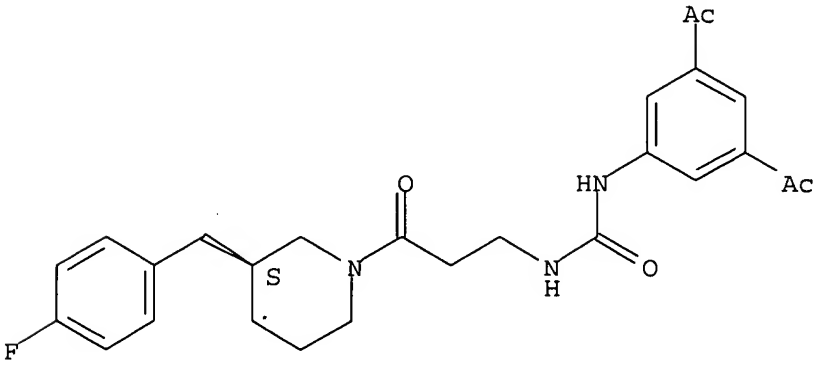
AB Title compds. I [M = absent CH2, CHR5, CHR13, CR13R13, and CR5R13; Q = CH2, CHR5, CHR13, CR13R13, and CR5R13; K = CH2, CHR5 and CHR6; J, L = CH2, CHR5, CHR6, CR6R6 and CR5R6; with the provisons that at least one of M, J,

K, L, or Q contains an R5; and when M absent, J = CH2, CHR5, CHR13 and CR5R13; Z = O, S, NR1a, C(CN)2, CH(NO)2, CHCN; R1a = H, (cyclo)alkyl, amido, alkoxy, CN, NO2, etc.; E = C:O-alkyl, sulfonyl-alkyl, C:O-cycloalkyl; etc.; R3 = alkylamino, alkyl-carbocyclic, etc.; R5 = alkyl-carbocyclic; R6 = alk(en/yn)yl, alkyl-cycloalkyl, CN, alkylamino, alkyl-hydroxy, etc.; R13 = alk(en/yn)yl, cycloalkyl, alkyl-CF3, akylamino, alkyl-alkoxy; etc.] were prepared Over 80 synthetic examples were disclosed. For instance, (1R,2R)-2-(benzyloxycarbonylamino)cyclohexanecar boxaldehyde (preparation given) was oxidized to the corresponding carboxylic acid (NaOAc/HOAc, pH 3.5, CH3CN, resorcinol, NaClO2, 0°C, 16 h) and condensed with (S)-3-(4-fluorobenzyl)piperidine (preparation given; CH2Cl2, BOP, Et3N, 0°C, 16 h) to give the amide. The intermediate Cbz group was removed (MeOH, 10% Pd/C, 50 psi H2, overnight) and the amine acylated with 3-acetylphenylisocyanate (THF, 25°C) to give example compound II. I are modulators of chemokine receptor activity and are useful in the prevention of asthma and other allergic diseases.

IT **382636-52-4P 382636-73-9P 382636-76-2P**
382636-77-3P 382636-78-4P 382636-87-5P
382636-88-6P 382636-89-7P 382636-90-0P
382636-91-1P 382636-93-3P 382636-94-4P
382636-96-6P 382636-97-7P 382636-98-8P
382636-99-9P 382637-00-5P 382637-01-6P
382637-02-7P 382637-05-0P 382637-07-2P
382637-08-3P 382637-09-4P 382637-10-7P
382637-11-8P 382637-13-0P 382637-15-2P
382637-17-4P 382637-19-6P 382637-21-0P
382637-24-3P 382637-27-6P 382637-29-8P
382637-33-4P 382637-38-9P 382637-39-0P
382637-77-6P 382638-03-1P 382638-06-4P
382638-11-1P 382638-12-2P 382638-14-4P
382638-15-5P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; synthesis of piperidine amides as modulators of chemokine receptor activity)

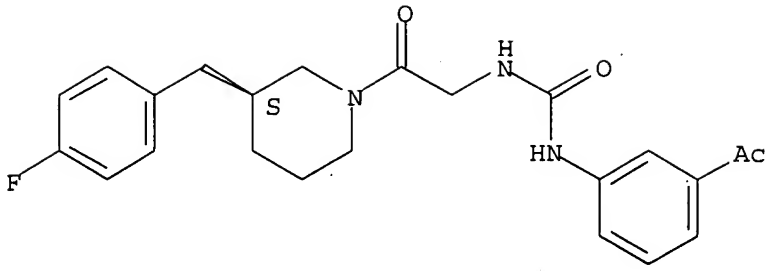
RN 382636-52-4 CAPLUS
CN Piperidine, 1-[3-[[[(3,5-diacetylphenyl)amino]carbonyl]amino]-1-oxopropyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



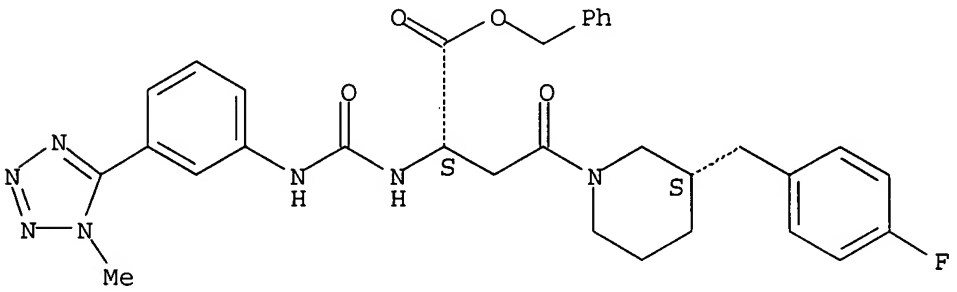
RN 382636-73-9 CAPLUS
CN Piperidine, 1-[[[[(3-acetylphenyl)amino]carbonyl]amino]acetyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



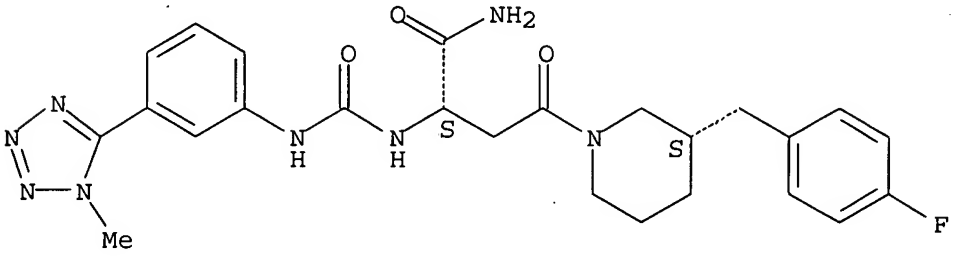
RN 382636-76-2 CAPLUS
CN 1-Piperidinebutanoic acid, 3-[(4-fluorophenyl)methyl]-α-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-γ-oxo-, phenylmethyl ester, (αS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



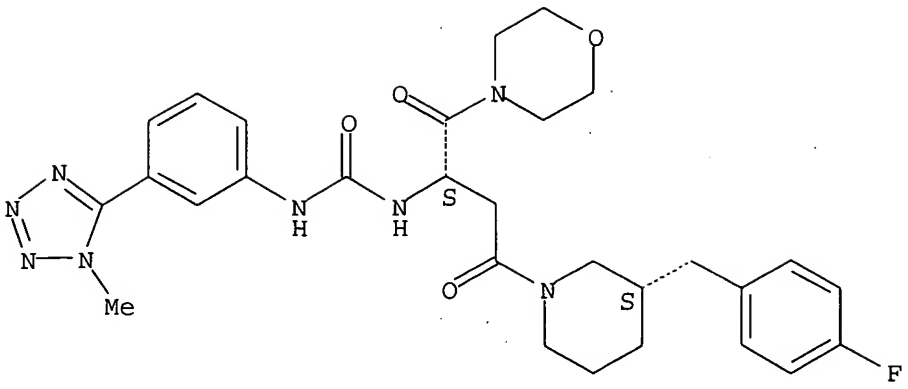
RN 382636-77-3 CAPLUS
CN 1-Piperidinebutanamide, 3-[(4-fluorophenyl)methyl]-α-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-γ-oxo-, (αS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



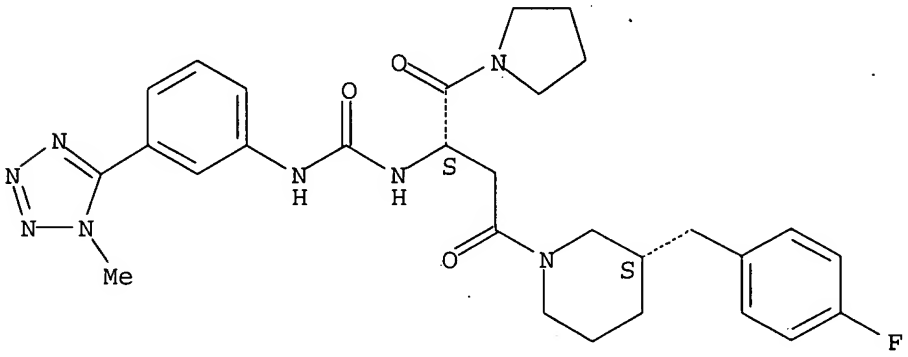
RN 382636-78-4 CAPLUS
CN Morpholine, 4-[(2S)-4-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



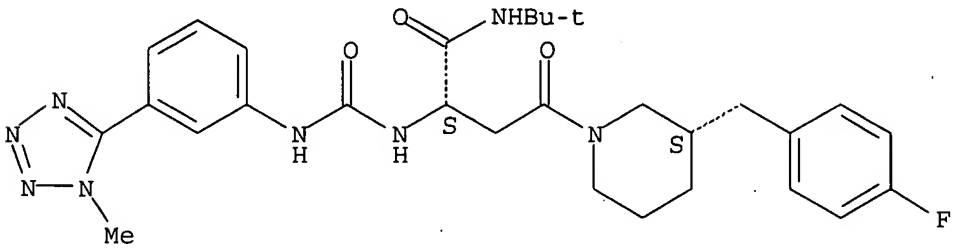
RN 382636-87-5 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(3S)-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1,4-dioxo-4-(1-pyrrolidinyl)butyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



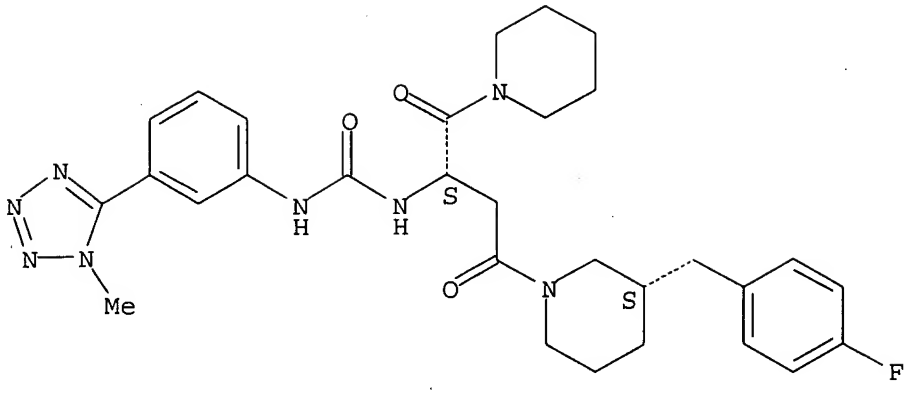
RN 382636-88-6 CAPLUS
CN 1-Piperidinebutanamide, N-(1,1-dimethylethyl)-3-[(4-fluorophenyl)methyl]-α-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-γ-oxo-, (αS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



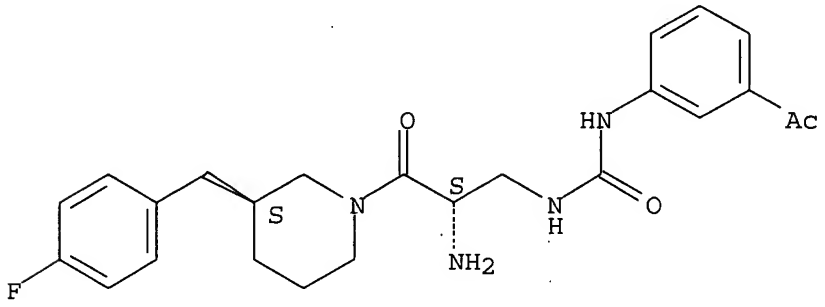
RN 382636-89-7 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(3S)-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1,4-dioxo-4-(1-piperidinyl)butyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



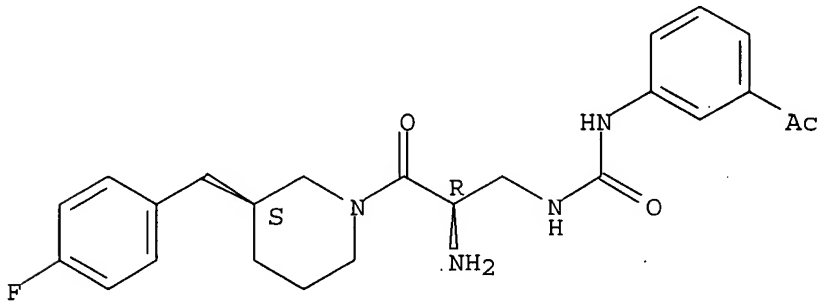
RN 382636-90-0 CAPLUS
CN Piperidine, 1-[(2S)-3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-amino-1-oxopropyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



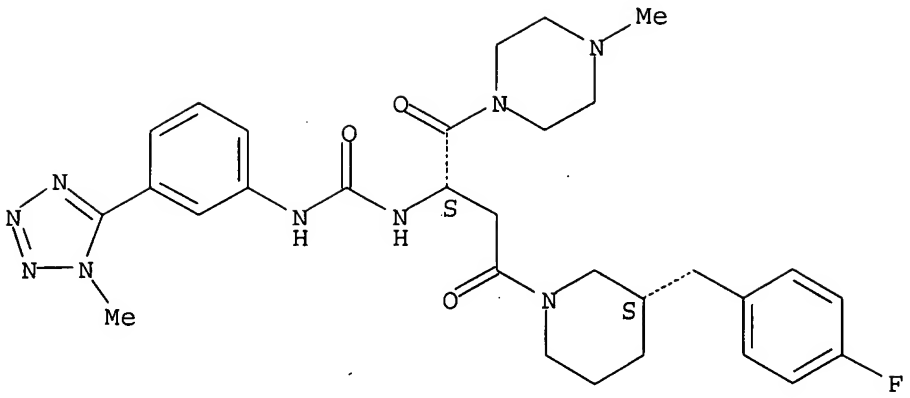
RN 382636-91-1 CAPLUS
CN Piperidine, 1-[(2R)-3-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-amino-1-oxopropyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



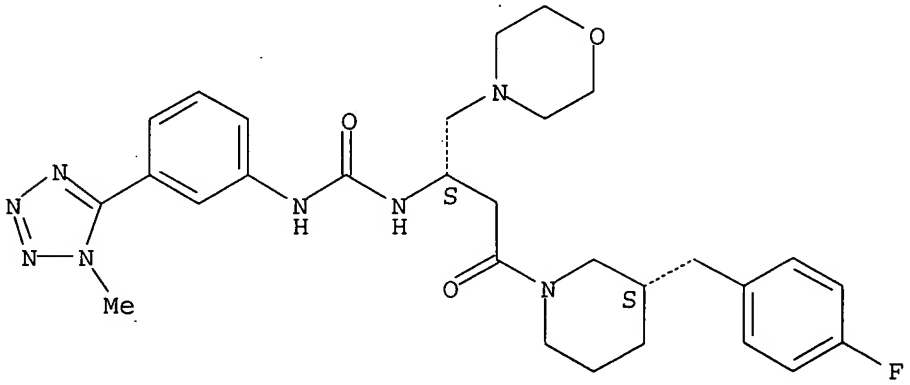
RN 382636-93-3 CAPLUS
CN Piperazine, 1-[(2S)-4-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1,4-dioxobutyl]-4-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



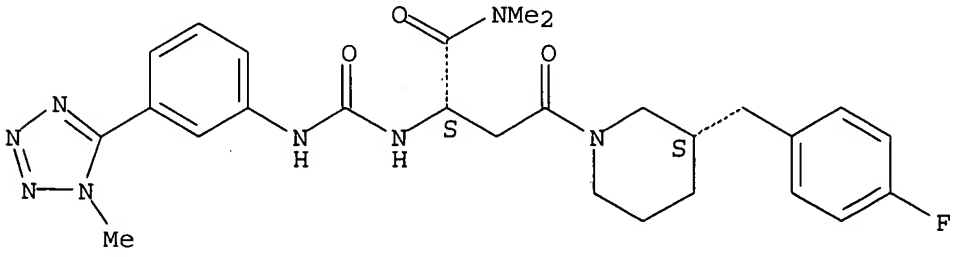
RN 382636-94-4 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(3S)-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-4-(4-morpholinyl)-1-oxobutyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



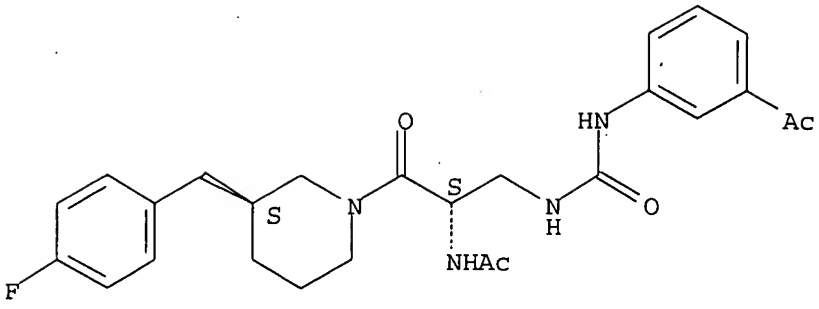
RN 382636-96-6 CAPLUS
CN 1-Piperidinebutanamide, 3-[(4-fluorophenyl)methyl]-N,N-dimethyl-α-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-γ-oxo-, (αS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



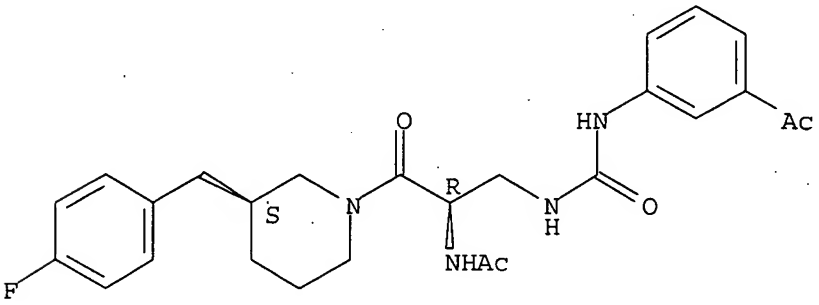
RN 382636-97-7 CAPLUS
CN Acetamide, N-[(1S)-1-[[[[(3-acetylphenyl)amino]carbonyl]amino]methyl]-2-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



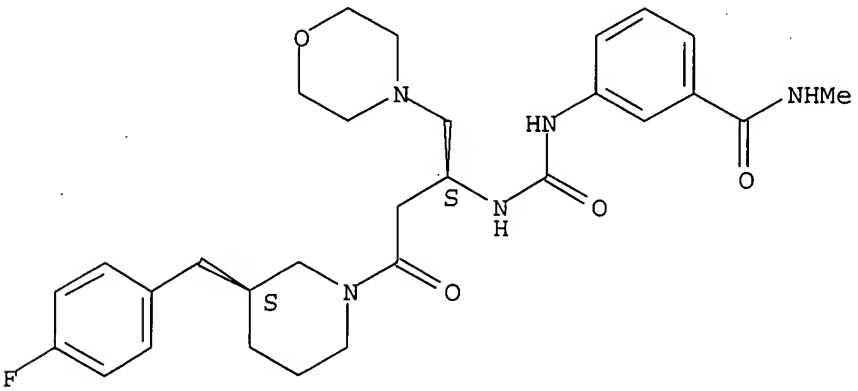
RN 382636-98-8 CAPLUS
CN Acetamide, N-[(1R)-1-[[[[(3-acetylphenyl)amino]carbonyl]amino]methyl]-2-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



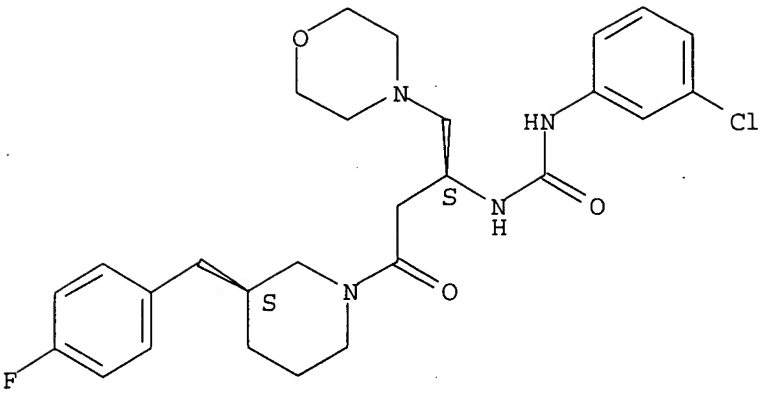
RN 382636-99-9 CAPLUS
CN Benzamide, 3-[[[[(1S)-3-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-1-(4-morpholinylmethyl)-3-oxopropyl]amino]carbonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



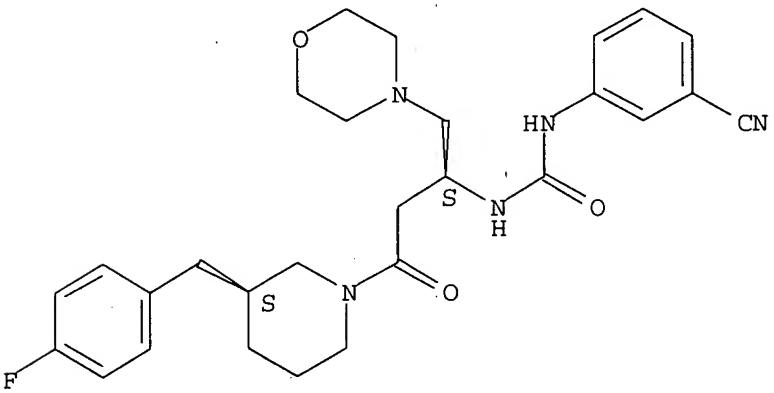
RN 382637-00-5 CAPLUS
CN Piperidine, 1-[(3S)-3-[[[(3-chlorophenyl)amino]carbonyl]amino]-4-(4-morpholinyl)-1-oxobutyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



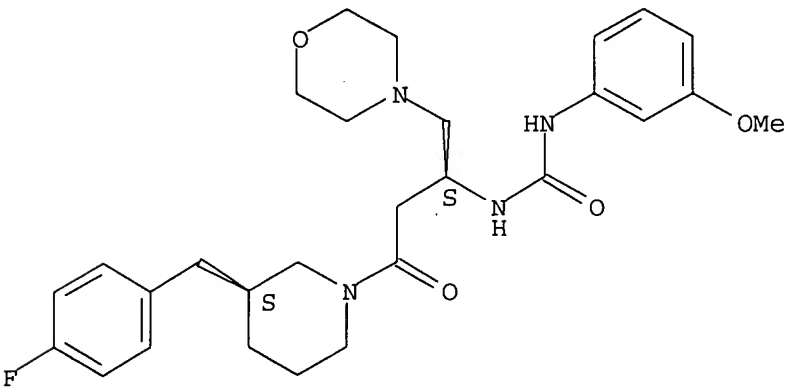
RN 382637-01-6 CAPLUS
CN Piperidine, 1-[(3S)-3-[[[(3-cyanophenyl)amino]carbonyl]amino]-4-(4-morpholinyl)-1-oxobutyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 382637-02-7 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(3S)-3-[[[(3-methoxyphenyl)amino]carbonyl]amino]-4-(4-morpholinyl)-1-oxobutyl]-, (3S)-(9CI) (CA INDEX NAME)

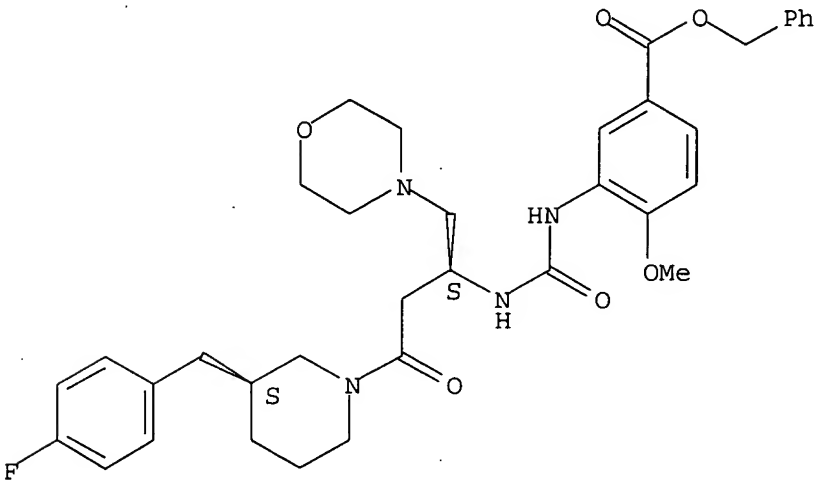
Absolute stereochemistry.



RN 382637-05-0 CAPLUS

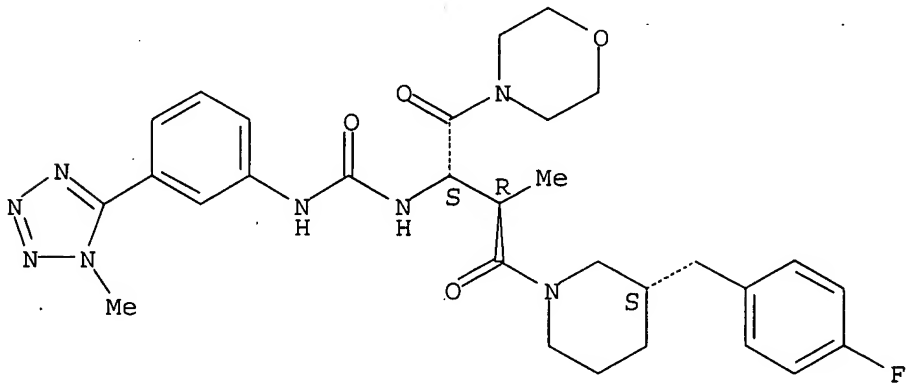
CN Benzoic acid, 3-[[[[(1S)-3-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-1-(4-morpholinylmethyl)-3-oxopropyl]amino]carbonyl]amino]-4-methoxy-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



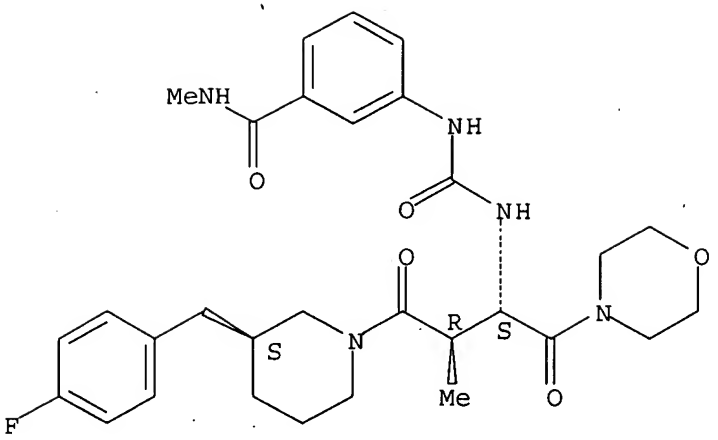
RN 382637-07-2 CAPLUS
CN Morpholine, 4-[(2S,3R)-4-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-3-methyl-2-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1,4-dioxobutyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



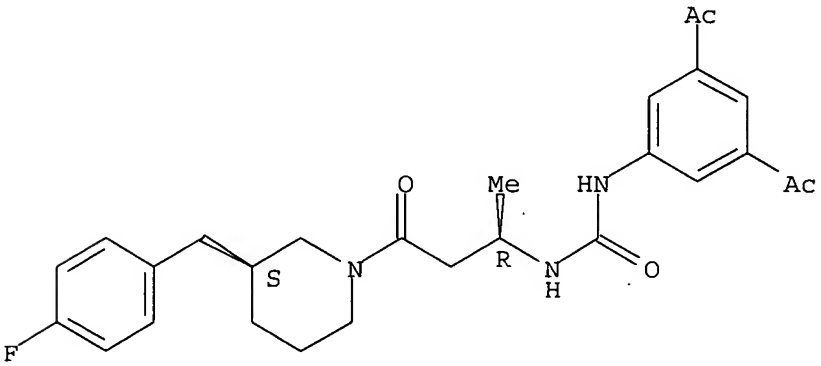
RN 382637-08-3 CAPLUS
CN Benzamide, 3-[[[[(1S,2R)-3-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-methyl-1-(4-morpholinylcarbonyl)-3-oxopropyl]amino]carbonyl]amino]-N-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



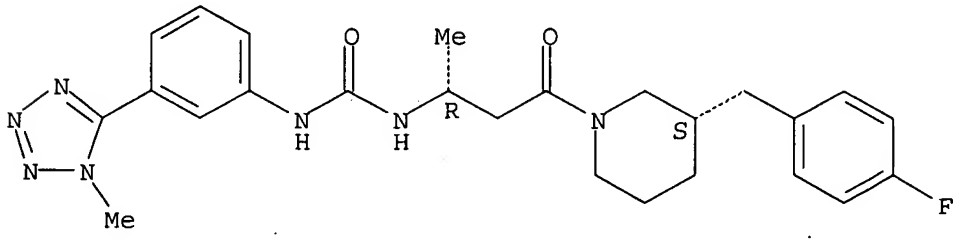
RN 382637-09-4 CAPLUS
CN Piperidine, 1-[(3R)-3-[[[(3,5-diacetylphenyl)amino]carbonyl]amino]-1-oxobutyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



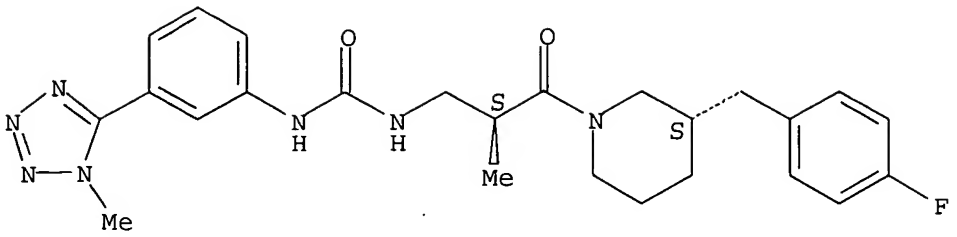
RN 382637-10-7 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(3R)-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxobutyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



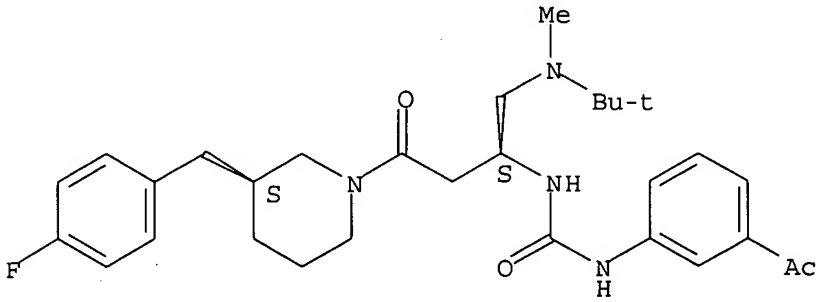
RN 382637-11-8 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(2S)-2-methyl-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxopropyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



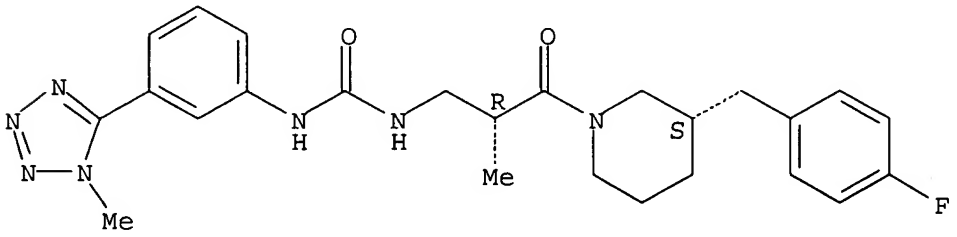
RN 382637-13-0 CAPLUS
CN Piperidine, 1-[(3S)-3-[[[(3-acetylphenyl)amino]carbonyl]amino]-4-[(1,1-dimethylethyl)methylamino]-1-oxobutyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



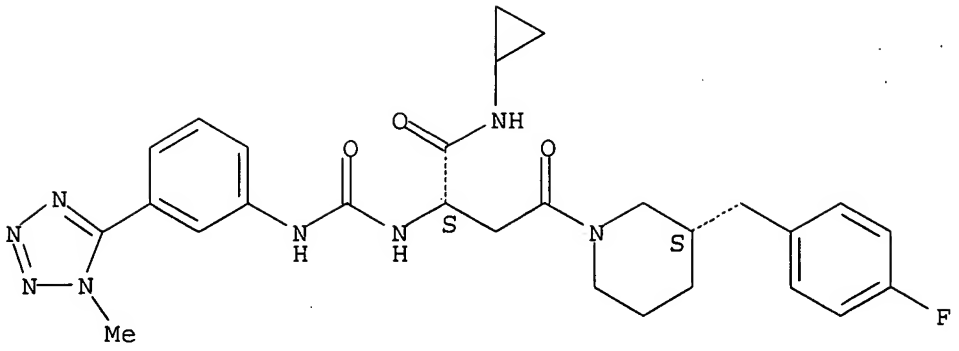
RN 382637-15-2 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(2R)-2-methyl-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxopropyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



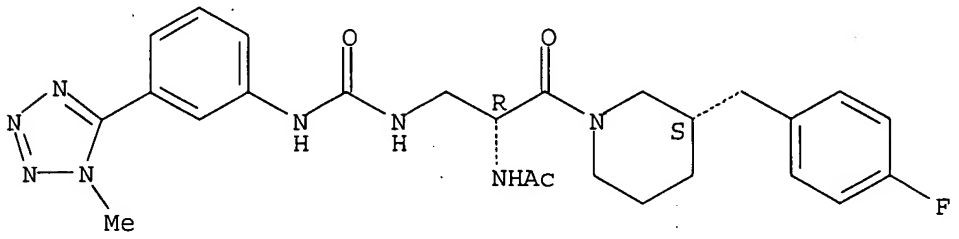
RN 382637-17-4 CAPLUS
CN 1-Piperidinebutanamide, N-cyclopropyl-3-[(4-fluorophenyl)methyl]-α-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-γ-oxo-, (αS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



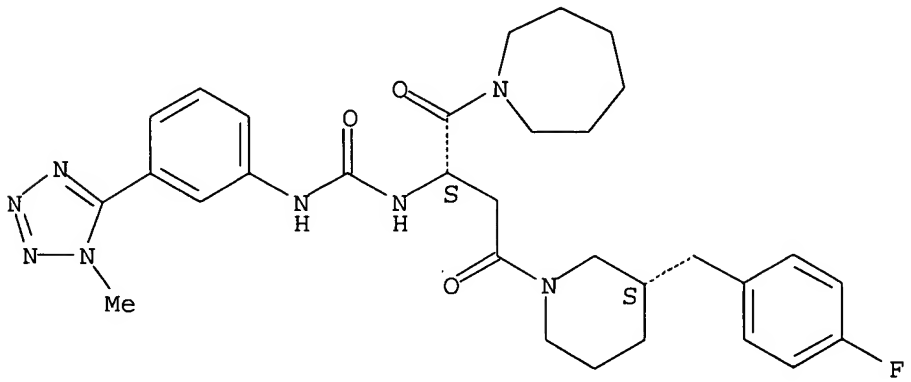
RN 382637-19-6 CAPLUS
CN Acetamide, N-[(1R)-2-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-1-[[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]methyl]-2-oxoethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



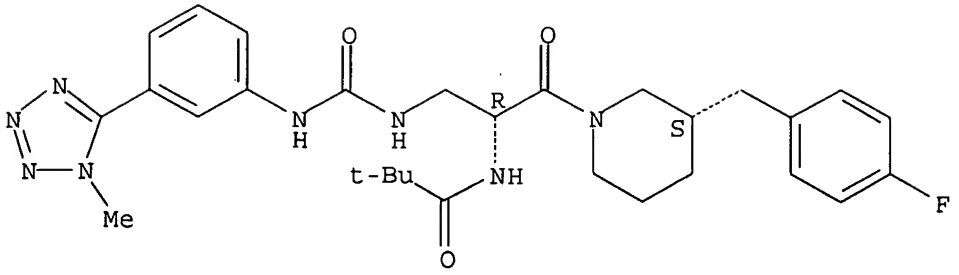
RN 382637-21-0 CAPLUS
CN 1H-Azepine, 1-[(2S)-4-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-2-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1,4-dioxobutyl]hexahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



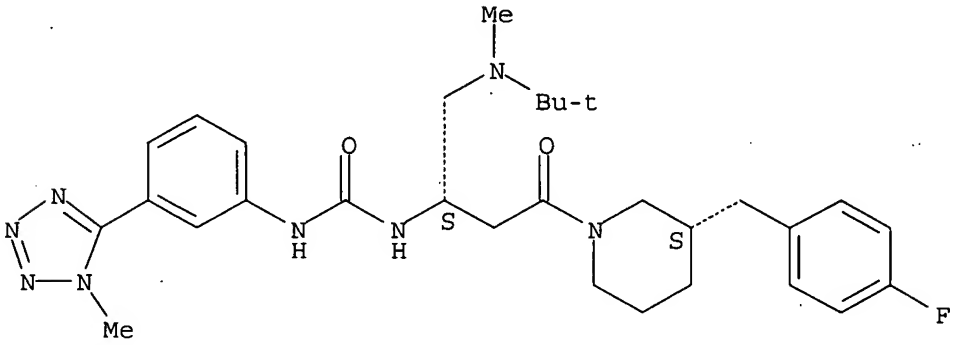
RN 382637-24-3 CAPLUS
CN Propanamide, N-[(1R)-2-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-1-[[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]methyl]-2-oxoethyl]-2,2-dimethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



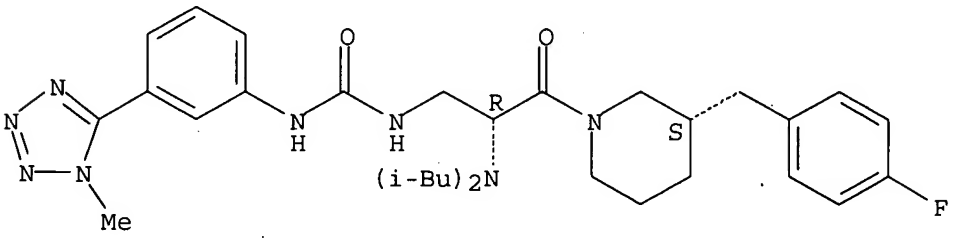
RN 382637-27-6 CAPLUS
CN Piperidine, 1-[(3S)-4-[(1,1-dimethylethyl)methylamino]-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxobutyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



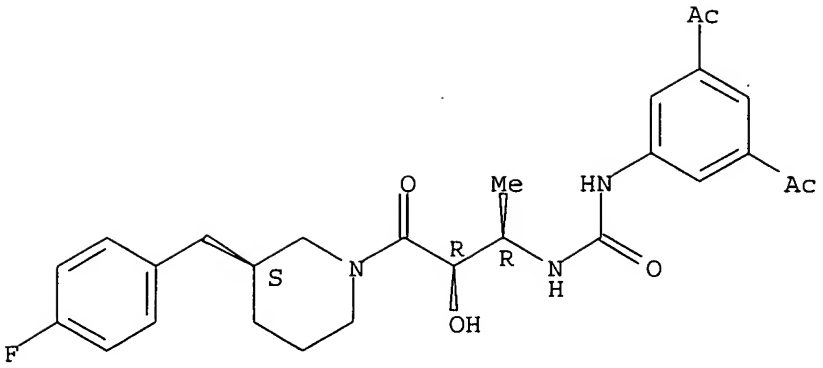
RN 382637-29-8 CAPLUS
CN Piperidine, 1-[(2R)-2-[bis(2-methylpropyl)amino]-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxopropyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



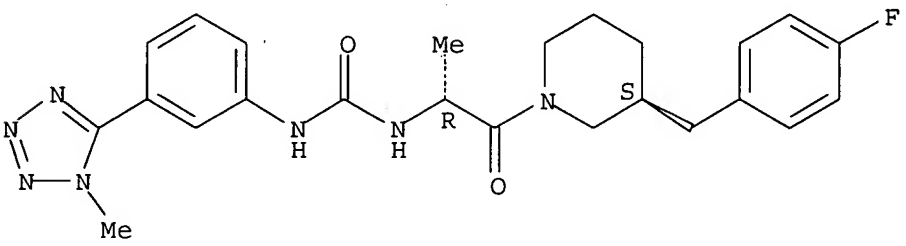
RN 382637-33-4 CAPLUS
CN Piperidine, 1-[(2R,3R)-3-[[[(3,5-diacetylphenyl)amino]carbonyl]amino]-2-hydroxy-1-oxobutyl]-3-[(4-fluorophenyl)methyl]-, (3S)-rel- (9CI) (CA INDEX NAME)

Relative stereochemistry.



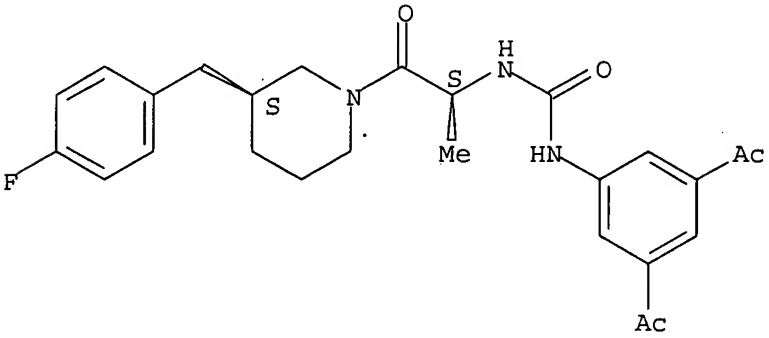
RN 382637-38-9 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(2R)-2-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxopropyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



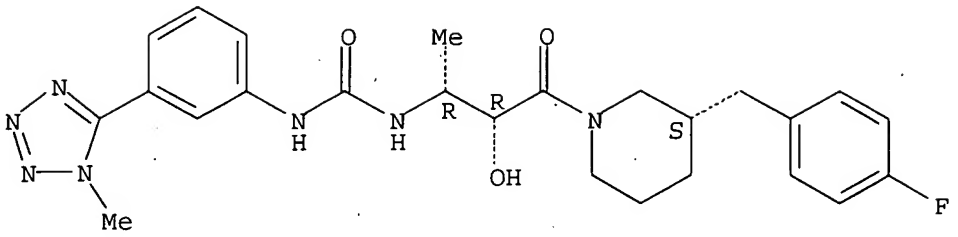
RN 382637-39-0 CAPLUS
CN Piperidine, 1-[(2S)-2-[[[(3,5-diacetylphenyl)amino]carbonyl]amino]-1-oxopropyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



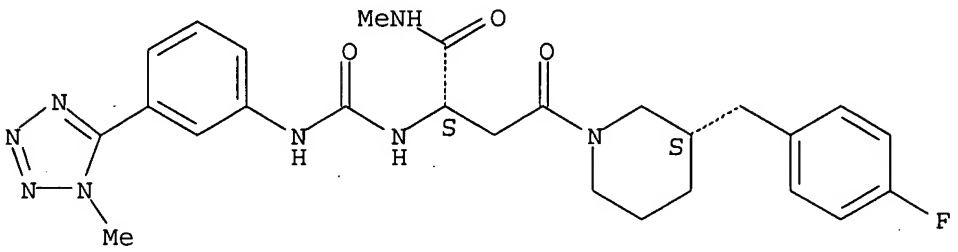
RN 382637-77-6 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(2R,3R)-2-hydroxy-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxobutyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



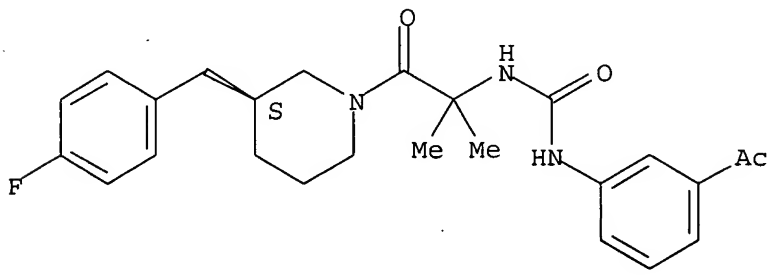
RN 382638-03-1 CAPLUS
CN 1-Piperidinebutanamide, 3-[(4-fluorophenyl)methyl]-N-methyl-α-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-γ-oxo-, (αS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



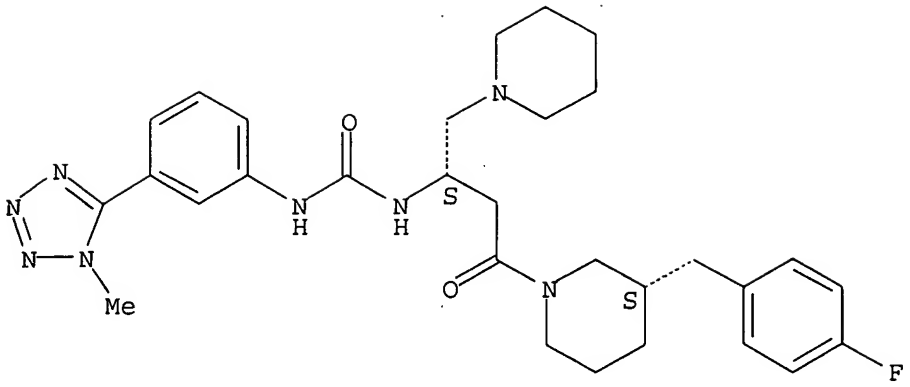
RN 382638-06-4 CAPLUS
CN Piperidine, 1-[2-[[[(3-acetylphenyl)amino]carbonyl]amino]-2-methyl-1-oxopropyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



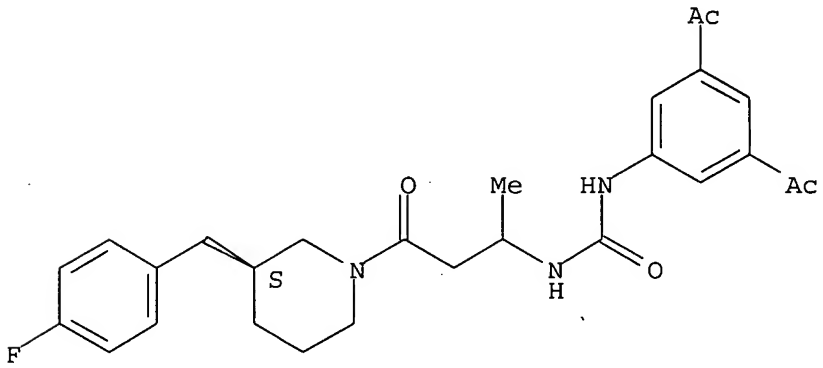
RN 382638-11-1 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[(3S)-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxo-4-(1-piperidinyl)butyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



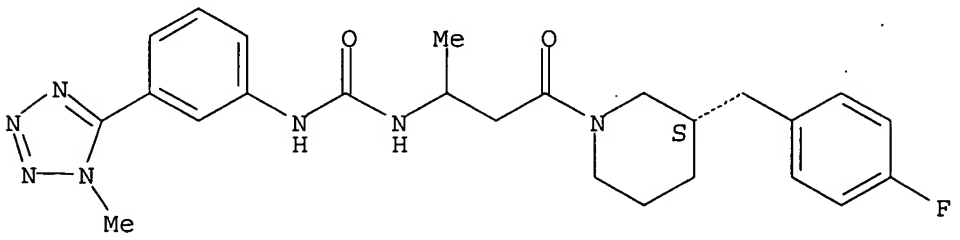
RN 382638-12-2 CAPLUS
CN Piperidine, 1-[3-[[[(3,5-diacetylphenyl)amino]carbonyl]amino]-1-oxobutyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



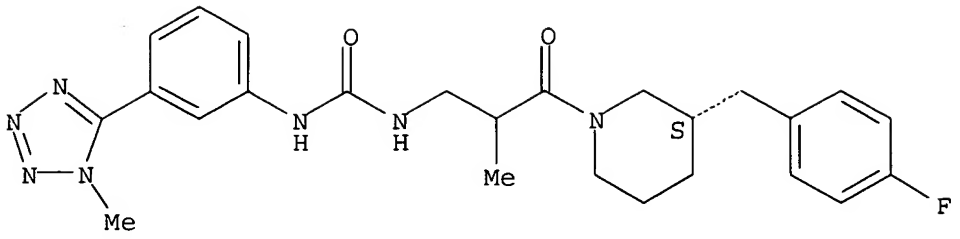
RN 382638-14-4 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxobutyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 382638-15-5 CAPLUS
CN Piperidine, 3-[(4-fluorophenyl)methyl]-1-[2-methyl-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxopropyl]-, (3S)- (9CI) (CA INDEX NAME)

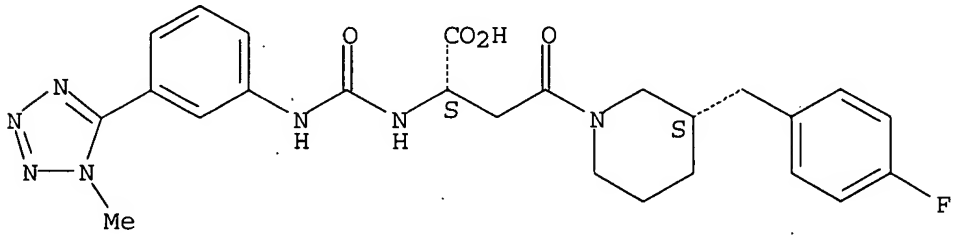
Absolute stereochemistry.



IT **382637-82-3P 382637-95-8P 382637-96-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; synthesis of piperidine amides as modulators of chemokine receptor activity)

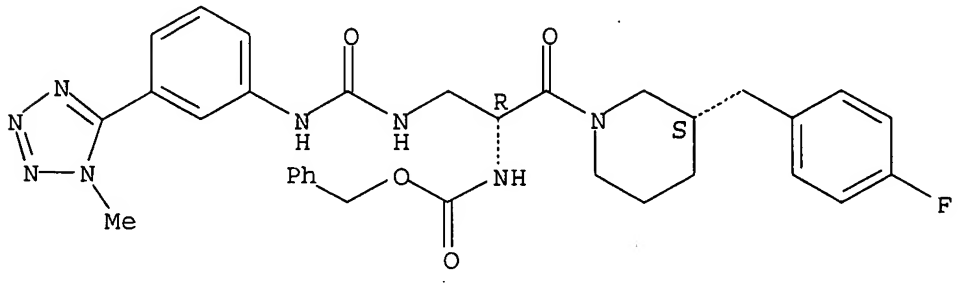
RN 382637-82-3 CAPLUS
CN 1-Piperidinebutanoic acid, 3-[(4-fluorophenyl)methyl]-α-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-γ-oxo-, (αS,3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



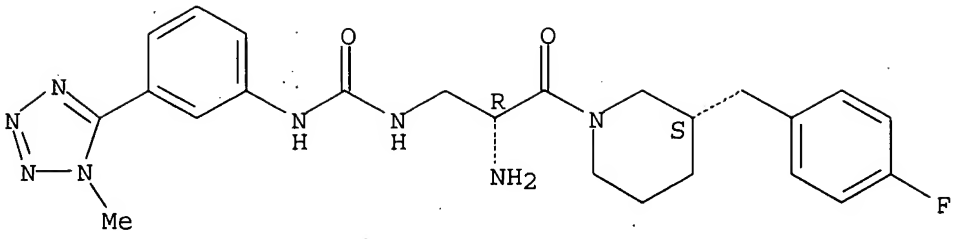
RN 382637-95-8 CAPLUS
CN Carbamic acid, [(1R)-2-[(3S)-3-[(4-fluorophenyl)methyl]-1-piperidinyl]-1-[[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]methyl]-2-oxoethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 382637-96-9 CAPLUS
CN Piperidine, 1-[(2R)-2-amino-3-[[[[3-(1-methyl-1H-tetrazol-5-yl)phenyl]amino]carbonyl]amino]-1-oxopropyl]-3-[(4-fluorophenyl)methyl]-, (3S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.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DN   141:225161
TI   Preparation of biphenyl derivatives as β2-adrenergic agonists and
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IN   Mammen, Mathai; Dunham, Sarah; Hughes, Adam; Lee, Tae Weon; Husfeld,
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PA   USA
SO   U.S. Pat. Appl. Publ., 85 pp.
     CODEN: USXXCO
DT   Patent
LA   English
FAN:CNT 1
     PATENT NO.          KIND   DATE        APPLICATION NO.      DATE
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     WO 2004074246        A3    20041118
         W:  AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG,
             BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR,
             CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES,
             ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN,
             IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC,
             LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX,
             MZ, MZ, NA, NI
        RW:  BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE,
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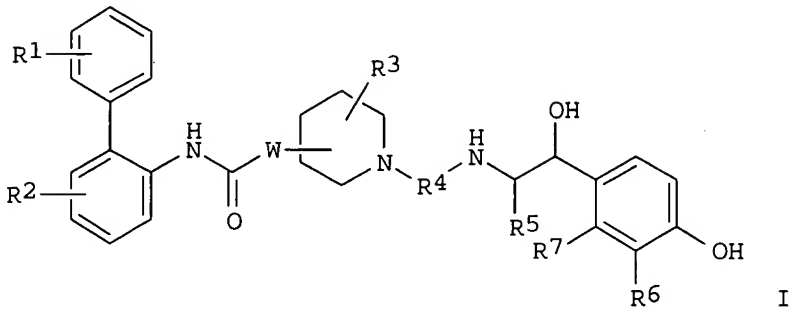
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

	US 2004209915	A1	20041021	US 2004-778290	20040213
	US 2004209860	A1	20041021	US 2004-778649	20040213
PRAI	US 2003-447843P	P	20030214		
	US 2003-467035P	P	20030501		

OS MARPAT 141:225161

GI



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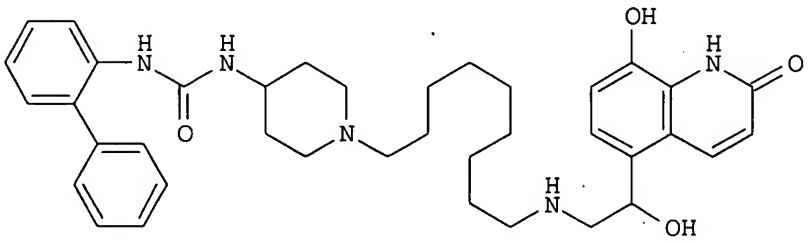

II

AB Title compds. I [R1 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, etc.; R2 (taken 0-3 times) = alk(en/yn)yl, cycloalkyl, CN, etc.; W = O, substituted N; R3 (taken 0-4 times) = alk(en/yn)yl, cycloalkyl, etc.; R4 = divalent group; R5 = H, alkyl; R6 = amino, alkoxy, etc.; R7 = H, etc.] are prepared For instance, N-[1,1'-Biphenyl-2-yl]-N'-[1-(9-aminononyl)**piperidin**-4-yl]**urea** (preparation given) is combined with 8-Benzyloxy-5-(2,2-dihydroxyacetyl)-1H-quinolin-2-one (CH2Cl2, NaHB(OAc)3) and the product reduced (MeOH, H2-Pd/C) to give II. Selected example compds. have Ki < 10 nM for the β2 and muscarinic receptor. I are useful in the treatment of pulmonary disorders, such as chronic obstructive pulmonary disease and **asthma**.

L1 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2003:622568 CAPLUS

DN 139:164710

TI Preparation of ureidoalkylpiperidines as modulators of chemokine CCR3 receptor activity.

IN Ko, Soo S.; Delucca, George V.; Duncia, John V.; Santella, Joseph B., III; Wacker, Dean A.

PA Bristol-Myers Squibb Pharma Company, USA

SO U.S., 145 pp., Cont.-in-part of U.S. Ser. No. 465,286, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN.CNT 10

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6605623	B1	20030812	US 2000-598821	20000621
	US 6331541	B1	20011218	US 1999-465288	19991217
	ZA 2001003756	A	20020509	ZA 2001-3756	20010509
	CA 2413274	AA	20011227	CA 2001-2413274	20010620
	WO 2001098269	A2	20011227	WO 2001-US19745	20010620
	WO 2001098269	A3	20030710		
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	EP 1363881	A2	20031126	EP 2001-950358	20010620
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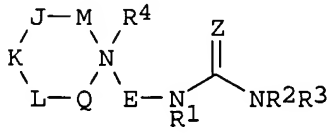
	JP 2004517803	T2	20040617	JP 2002-504225	20010620
	US 2003013741	A1	20030116	US 2001-7172	20011023
	US 6521592	B2	20030218		
	US 2004002515	A1	20040101	US 2002-279416	20021024
	US 6875776	B2	20050405		
	US 2004006107	A1	20040108	US 2002-279231	20021024
	US 6780857	B2	20040824		
	US 2004058960	A1	20040325	US 2003-465191	20030619
	US 6906066	B2	20050614		
PRAI	US 1998-112717P	P	19981218		
	US 1999-161243P	P	19991022		
	US 1999-465286	B2	19991217		
	US 1999-161137P	P	19991022		
	US 1999-161184P	P	19991022		
	US 1999-161222P	P	19991022		
	US 1999-465287	A3	19991217		
	US 1999-465288	A3	19991217		
	US 1999-465948	A3	19991217		
	US 2000-213051P	P	20000621		
	US 2000-598821	A	20000621		
	WO 2001-US19745	W	20010620		

OS MARPAT 139:164710

GI



I

AB [Title compds. I; M = CH2, CHR5, CHR13, CR13R13, CR5R13; Q = CH2, CHR5, CHR13, CR13R13, CR5R13; J, L = CH2, CHR5, CHR6, CR6R6, CR5R6; Z = O, S; M = CH2, CHR5, CHR13, CR13R13, CR5R13; K = CHR5, CR5R6; Z = O, S; E = (CHR7)(CHR9)v(CR11R12); R1, R2 = H, alkyl, alkenyl, alkynyl, (substituted) alkylcycloalkyl; R2R3 = atoms to form a (substituted) 5-7 membered ring; R3, R5 = (substituted) (alkyl)cycloalkyl, (alkyl)heterocyclyl; R4 = null, O, alkyl, alkenyl, alkynyl, etc.; R4 with R7, R9, or R11 = atoms to form a 5-7 membered ring; R6 = alkyl, alkenyl, alkynyl, etc.; R7, R9 = H; R4R7,

R4R9 = (substituted) spirocyclyl; R13 = alkyl, alkenyl, alkynyl, cycloalkyl, etc.; R11R12 = pyrrolidinyl, tetrahydrofuryl, **piperidinyl**, tetrahydropyranyl; v = 1, 2], were prepared as modulators of chemokine activity (no data) for preventing **asthma** and other allergic diseases. Thus, 4-benzyl-1-(3-aminopropyl) **piperidine** (preparation given) in THF was treated with 3-cyanophenyl isocyanate to give N-(3-cyanophenyl)-N'-[3-[4-(phenylmethyl)-1-**piperidinyl**]propyl]**urea**. A pharmaceutical composition comprising the compound I was claimed.

RE.CNT 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:434550 CAPLUS
DN 139:22112
TI Preparation of ureido and related piperidines as CCR3 receptor antagonists for treating asthma
IN Du Bois, Daisy Joe; Kertesz, Denis John; Sjogren, Eric Brian; Smith, David Bernard; Wang, Beihan
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 93 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003045937	A1	20030605	WO 2002-EP13218	20021125
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
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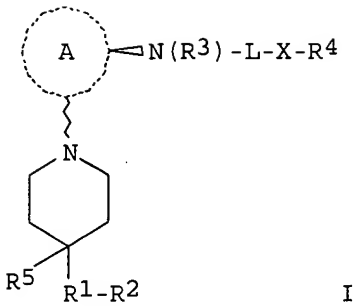
	CA 2467874	AA	20030605	CA 2002-2467874	20021125
	EP 1453825	A1	20040908	EP 2002-787796	20021125
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
	BR 2002014613	A	20040914	BR 2002-14613	20021125
	JP 2005515193	T2	20050526	JP 2003-547387	20021125
	US 2003229121	A1	20031211	US 2002-307130	20021129
PRAI	US 2001-334653P	P	20011130		
	US 2001-334655P	P	20011130		
	US 2001-334819P	P	20011130		
	WO 2002-EP13218	W	20021125		

OS MARPAT 139:22112
GI



I

AB The present invention relates to N-ureido-**piperidines** (shown as I; variables defined below; e.g. trans-1-[2-[4-(4-chlorobenzyl) **piperidin**-1-yl]cyclohexyl]-3-(3,4,5-trimethoxyphenyl)**urea**). The compds. are useful as CCR3 receptor antagonists by blocking the ability of the CCR-3 receptor to bind RANTES, MCP-3 and eotaxin and thereby preventing the recruitment of eosinophils, and therefore, may be used for treatment of CCR3 mediated diseases such as **asthma**. Five pharmaceutical formulations are described. Seven example prepns. of intermediates and 31 of I are included. For example, trans-1-[2-[4-(4-chlorobenzyl)**piperidin**-1-yl]cyclohexyl]-3-(3,4,5-trimethoxyphenyl)**urea** was prepared in 55% yield from [trans-2-[4-(4-chlorobenzyl)**piperidin**-1-yl]cyclohexyl]amine (56 mg, 0.18 mmol) and 5-isocyanato-1,2,3-trimethoxybenzene in CH2Cl2; [trans-2-[4-(4-chlorobenzyl)**piperidin**-1-yl]cyclohexyl]amine was prepared in 2 steps starting from 4-(4-chlorobenzyl)**piperidine** and 7-oxabicyclo[4.1.0]heptane via intermediate trans-2-[4-(4-chlorobenzyl) **piperidin**-1-yl]cyclohexanol with yields of 88 and 67%. IC50 values for inhibiting the binding of 125I eotaxin to CCR-3 L1.2 transfectant cells were determined for 10 examples of I, e.g. 0.0185 μM for trans-N-[3-[3-[2-[4-(4-Chlorobenzyl)**piperidin** -1-yl]cyclopentyl]ureido]phenyl]acetamide. For I: R1 is (C1-C2)alkylene; R2 is (un)substituted phenyl; R3 is H, C1-6 alkyl, acyl, aryl, or aryl C1-6 alkyl; ring A is a C3-7 cycloalkyl, heterocyclyl, or (un)substituted phenyl; L is -C(O)-, -C(S)-, -SO2-, -C(O)N(Ra)-, -C(S)N(Ra)-, -SO2N(Ra)-, -C(O)O-, -C(S)-O-, -S(O)2O-; where Ra is H, C1-6 alkyl, acyl, aryl, aryl C1-6 alkyl, C1-6 alkoxycarbonyl, or benzyloxycarbonyl; X is absent, -(CR'R'')O-, -(CR'R'')S-, -(CR'R'')NRb- or C1-6 alkylene; where R' and R'' = H or C1-6 alkyl, and Rb is H or C1-6 alkyl; R4 is aryl or heteroaryl; and R5 is H or C1-6 alkyl; provided that when R1 is -CH2-, R2 is Ph, R3 is H, R5 is H, A is Ph, L is -C(O)NH- and X is absent, then R4 is not 2,5-difluorophenyl.

RE.CNT 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L1 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:434533 CAPLUS
DN 139:22110
TI Preparation of piperidinyl carboxamides and ureas and related compounds as CCR3 receptor antagonists for treating asthma
IN Du Bois, Daisy Joe; Wang, Beihan
PA F. Hoffmann-La Roche A.-G., Switz.
SO PCT Int. Appl., 55 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003045917	A2	20030605	WO 2002-EP12997	20021120

WO 2003045917 A3 20031009
WO 2003045917 B1 20031204
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
CA 2468402 AA 20030605 CA 2002-2468402 20021120
EP 1453804 A2 20040908 EP 2002-803781 20021120
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
BR 2002014611 A 20040914 BR 2002-14611 20021120
JP 2005518364 T2 20050623 JP 2003-547369 20021120
US 2003153578 A1 20030814 US 2002-306820 20021127
US 2003229121 A1 20031211 US 2002-307130 20021129
PRAI US 2001-334819P P 20011130
US 2001-334653P P 20011130
US 2001-334655P P 20011130
WO 2002-EP12997 W 20021120
OS MARPAT 139:22110
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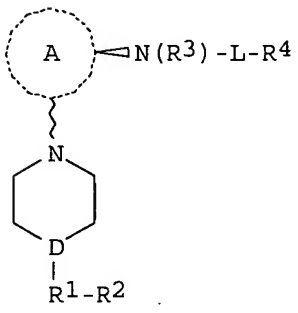


I

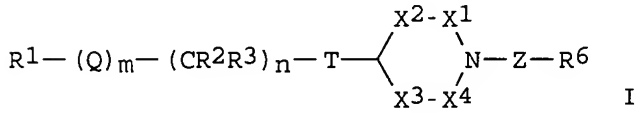
AB The present invention relates to compds. (shown as I; variables defined below; e.g. cyclohexanecarboxylic acid [(1R,2R)-2-[4-(4-chlorobenzyl)piperidin-1-yl]cyclopentyl]amide and [(1R,2R)-2-[4-(4-chlorobenzyl)piperidin-1-yl]cyclopentyl]-3-cyclohexylurea). The compds. are useful as CCR3 receptor antagonists by blocking the ability of the CCR-3 receptor to bind RANTES, MCP-3 and eotaxin and thereby preventing the recruitment of eosinophils, and therefore, may be used for treatment of CCR3 mediated diseases such as asthma. For I: R1 is (C1-C2)alkylene; R2 is (un)substituted phenyl; R3 is H, C1-6 alkyl, acyl, aryl, or aryl C1-6 alkyl; ring A is a C3-7 cycloalkyl, heterocyclyl, or (un)substituted phenyl; D is N or C-Rb; L is -C(O)-, -C(S)-, -SO2-, -C(O)N(Ra)-, -C(S)N(Ra)-, -SO2N(Ra)-, -C(O)O-, -C(S)O-, -S(O)2O-; R4 is C1-6 alkyl, C3-7 cycloalkyl, C2-6 alkenyl, C2-6 alkynyl, heteroalkyl or acyl C1-6 alkyl; Ra is H, C1-6 alkyl, acyl, aryl, aryl C1-6 alkyl, C1-6 alkoxycarbonyl, or benzyloxycarbonyl; and Rb is H or C1-6 alkyl. Five pharmaceutical formulations are described. Seven example prepns. of intermediates are included and general procedures for preparing I are included. In one method, an amine such as 4-(4-chlorobenzyl)piperidine is combined with a carboxylic acid such as cyclohexanecarboxylic acid in the presence of 1-hydroxybenzotriazole hydrate and 1-[3-(dimethylamino)propyl]-3-ethylcarbodiimide hydrochloride in CH2Cl2 to form the amide. IC50

values for inhibiting the binding of 125I eotaxin to CCR-3 L1.2 transfectant cells were determined for 6 examples of I.

L1 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 2003:44146 CAPLUS
DN 138:73178
TI Preparation and pharmaceutical combinations of [(hetero)arylalkyl]piperidinyl amine, amide, or carbamate CCR3 antagonists for treatment of asthma, allergic disease, or inflammation
IN Bahl, Ash; Perry, Matthew; Springthorpe, Brian
PA Astrazeneca AB, Swed.
SO Brit. UK Pat. Appl., 91 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI GB 2373186	A1	20020918	GB 2001-4534	20010223
PRAI GB 2001-4534		20010223		

OS MARPAT 138:73178
GI



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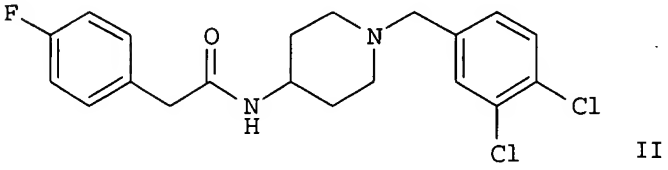

II

AB Title compds. I [wherein Z = CR4R5, CO, or CR4R5Z1; Z1 = alkylene, alkenylene, or CONH; R1 = (un)substituted alkyl, alkenyl, (hetero)cycloalkyl, or (hetero)aryl; Q = O, S, NR9, CO, CONR9, NR9CO, or CH=CH; m = 0-1; n = 0-6 with the proviso that when n = 0; then m = 0; R2 and R3 = independently H or alkyl; or CR2R3 = (alkyl)cycloalkyl; T = NR10, CONR10, NR11CONR10, or CONR10R11; X1-X4 = independently CH2CHR12 or CO; R4 and R5 = independently H or alkyl; R6 = (un)substituted (hetero)aryl; R9-R11 = independently H, alkyl, haloalkyl, hydroxyalkyl, cycloalkyl(alkyl), or phenylalkyl; R12 = independently (cyclo)alkyl or CO; or R12 groups of X1 and X3 or X4, or X2 and X3 or X4 join to form CH2CH2, CH2CH2CH2, CH2OCH2, or CH2SCH2; or pharmaceutically acceptable salts or solvates thereof] were prepared as cysteine-cysteine chemokine receptor 3 (CCR3) antagonists for use in pharmaceutical combinations with a histamine antagonist, steroid, leukotriene modulator, human cytokine, β-agonist, phosphodiesterase inhibitor, or antibody (no data). For example, 1-(3,4-dichlorobenzyl)-4-piperidinamine•2CF3CO2H was condensed with 2-(4-fluorophenyl)acetic acid to give N-[1-(3,4-dichlorobenzyl)-4-piperidinyl]-2-(4-fluorophenyl)acetamide (II). I are useful in combination therapy for the treatment of asthma, rhinitis, and other allergic or inflammatory conditions (no data).

L1 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN

AN 2002:332201 CAPLUS
DN 136:355169
TI Preparation of substituted ureas as modulators of the CCR5 receptor
IN Bondinell, William E.; Neeb, Michael J.
PA Smithkline Beecham Corporation, USA
SO PCT Int. Appl., 51 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2002034760	A2	20020502	WO 2001-US51175	20011023
	WO 2002034760	A3	20030123		
	W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
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	AU 2002035277	A5	20020506	AU 2002-35277	20011023
	EP 1343796	A2	20030917	EP 2001-985647	20011023
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
PRAI	US 2000-242427P	P	20001023		
	WO 2001-US51175	W	20011023		

OS MARPAT 136:355169
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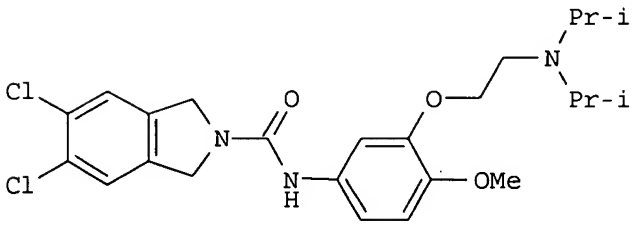


II

AB The title compds. Q'CONER'' [I; a basic N atom in moiety E may be optionally quaternized with alkyl or is optionally present as N-oxide; R'' = H, alkyl; or R'' together with the nitrogen to which it is attached may form a heterocyclic ring with an aryl ring of E; Q' = (un)substituted isoindolyl, benzoisoindolyl, benzazepinyl, etc.; E = (un)substituted Ph, spiro[benzofuran-5-yl-3,4'-**piperidine**], etc.] which are modulators, agonists or antagonists, of the CCR5 receptor, were prepared Thus, treating 3-(2-diisopropylaminoethoxy)-4-methoxyaniline with triphosgene in CH2Cl2 followed by addition of Et3N and 5,6-dichloro-2,3-dihydro-1H-isoindole afforded the **urea** II. The compds. I showed IC50 values in the range of 0.0001-100 μM against CCR5 receptor binding. In addition, this invention relates to the treatment and prevention of disease states mediated by CCR5, including, but not limited to, **asthma** and atopic disorders (for example atopic dermatitis and allergies), rheumatoid arthritis, sarcoidosis, or idiopathic pulmonary fibrosis and other fibrotic diseases, atherosclerosis, psoriasis, autoimmune diseases such as multiple sclerosis, treating and/or preventing rejection of transplanted organs, and inflammatory bowel disease, all in mammals, by the use of compds. I which are CCR5 receptor antagonists.

Furthermore, since CD8+ T cells have been implicated in COPD, CCR5 may play a role in their recruitment and therefore antagonists to CCR5 could provide potential therapeutic in the treatment of COPD. Also since CCR5 is a co-receptor for the entry of HIV into cells, selective receptor modulators maybe useful in the treatment of HIV infection.

L1 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1999:104519 CAPLUS
DN 130:153971
TI Preparation of tryptophan ureas as neurokinin antagonists
IN Shah, Shrenik K.; Qi, Hongbo; Maccoss, Malcolm
PA Merck and Co., Inc., USA
SO U.S., 14 pp.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 5869489	A	19990209	US 1997-814387	19970311
PRAI	US 1997-814387		19970311		

OS MARPAT 130:153971
GI

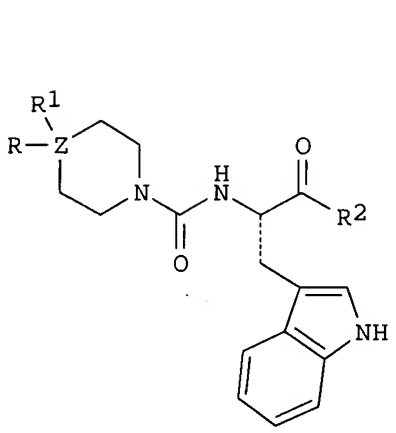
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Disclosed are substituted azacycles I [ring G = spirocycle Q1 or Q2, piperazine Q3, **piperidine** Q4; X = CH2, NSO2Me, NAc; R = Ph, 2-MeOC6H4, 2-MeC6H4, CH2Ph; R1 = Ph, R11 = NOMe [sic] (NHAc intended); R1 = H, R11 = CH2Ph, 1,2,3,4-tetrahydroquinazolin-2-on-1-yl; R2 = OCH2Ph wherein the Ph is optionally substituted with 1-3 substituents halo, Me, or CF3; N(R3)-C1-4 alkylphenyl, wherein the C1-4 alkyl may be linear or branched, the Ph is optionally substituted with 1-3 substituents halo, Me, MeO, or CF3; R3 = H, Me, Et] as tachykinin receptor antagonists useful in the treatment of inflammatory diseases, pain or migraine, and **asthma**. In particular compds. I are neurokinin antagonists. Thus, amidation of 1.967 g Boc-Trp-OH (Boc = Me3CO2C) with 0.87 mL MeNHCH2Ph gave 2.56 g of the corresponding amide, which underwent deprotection with CF3CO2H, condensation with carbonyldiimidazole, and **urea** formation with spiro[1H-indene-1,4'-**piperidine**] hydrochloride to give title compound II (L-743,516). II and related Trp derivs. showed IC50 values of >1000 to 1 nM for human neurokinin 1 (NK1) antagonist activity.
RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

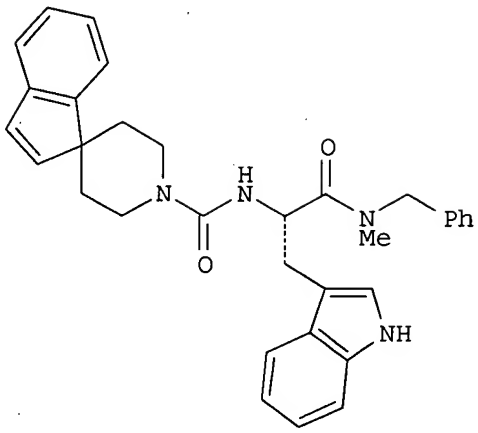
L1 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2005 ACS on STN
AN 1997:798601 CAPLUS
DN 128:13436
TI Preparation of tryptophan urea derivatives as tachykinin receptor antagonists
IN Maccoss, Malcolm; Oi, Hongbo; Shah, Shrenik K.
PA Merck and Co., Inc., USA
SO Brit. UK Pat. Appl., 47 pp.
CODEN: BAXXDU
DT Patent
LA English
FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

PI	GB 2311523	A1	19971001	GB 1997-5861	19970321
PRAI	US 1996-14003P	P	19960325		
	GB 1996-11786	A	19960606		
OS	MARPAT 128:13436				
GI					



I



II

AB Substituted title azacycles I [Z = N, R = CH2Ph, Ph, 2-MeOC6H4, 2-MeC6H4, R1 = absent; Z = C, R = Ph, R1 = NHOMe; R = CH2Ph, 2-oxo-1,2,3,4-tetrahydroquinazolin-1-yl, R1 = H; RZR1 = spiro-fused 1-indanyl, 3-indenyl, 1-methylsulfonyl-2,3-dihydroindol-3-yl, 1-acetyl-2,3-dihydroindol-3-yl; R2 = OCH2Ph wherein the Ph is substituted with 0-3 groups halo, Me, or CF3; or R2 = NR3-C1-4-alkylphenyl wherein the C1-4-alkyl may be linear or branched and the Ph may be substituted with 0-3 groups halo, Me, OMe, CF3; R3 = H, Me, Et] and pharmaceutically acceptable salts thereof are tachykinin receptor antagonists useful in the treatment of inflammatory diseases, pain or migraine, and **asthma**. In particular, compds. I are neurokinin antagonists. Thus, amidation of 1.967 g Boc-Trp-OH (Boc = Me3CO2C) with 0.87 mL MeNHCH2Ph gave 2.56 g of the corresponding amide, which underwent deprotection with CF3CO2H, condensation with carbonyldiimidazole, and **urea** formation with spiro[1H-indene-1,4'-**piperidine**] hydrochloride to give title compound II (L-743,516). I and related Trp derivs. showed IC50 values of >1000 to 1 nM for human neurokinin 1 (NK1) antagonist activity.